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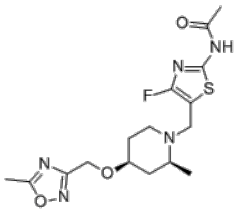
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LY3372689
Cat. No.	:	PC-72902
CAS No.	:	2241514-56-5
Molecular Formula	:	$C_{16}H_{22}FN_5O_3S$
Molecular Weight	:	383.442
Target	:	Other Targets
Solubility	:	10 mM in DMSO



1. Patent WO2018140299A1.
2. Kielbasa W, et al. ***Alzheimers Dement (N Y)***.

Biological Activity

Ceperognastat (LY3372689) is a potent, selective and CNS-penetrant, orally bioavailable O-GlcNAcase (**OGA**) enzyme inhibitor with SPR KD of 133 pM (human OGA), IC50 of 2.4/1.8 nM for hOGA and mOGA respectively.
Ceperognastat (LY3372689) binds OGA from different species with high affinity (1.8-2.4 nM) and displayed no difference in binding to brain homogenates from either AD or age-matched control brains.
Ceperognastat (LY3372689) demonstrates potent inhibition of purified human and mouse OGA and is highly selective against the functionally related lysosomal hexosaminidase enzymes HexA and HexB.
Ceperognastat (LY3372689) also shows no important in vitro binding activity across an industry standard selectivity panel of receptors, ion channels, transporters, and enzymes, and exhibited weak inhibition of the human ether-à-go-go (hERG) channel.
Ceperognastat (LY3372689) induces concentration-dependent increase in O-GlcNAc modified proteins in cellular assay with EC50 of 21.9 nM.
Ceperognastat (LY3372689) shows potential therapeutic approach to reduce the spread of tau pathology and thus slow the clinical progression of AD.

References

2024 Dec 26;10(4):e70020.